

Jan Delaval.

Access DB# 123160

SEARCH REQUEST FORM

Sabine Rogn Scientific and Technical Information Center

Requester's Full Name: 10/667,524 Examiner #: 74141 Date: 5/27/04
Art Unit: 1616 Phone Number: 20622 Serial Number: 10/667,524
Mail Box and Bldg/Room Location: 4670, Rm, 4A45 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc. if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: Process + Intermediates for the Prep of
Inventors (please provide full names): ULRICH et al. invertebrate

Earliest Priority Filing Date: Dec. 7 10/149,290, 6/11/02.

For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

Please search for the compound of
formula 1 and 2.

Please see attached sheet

Thank you
US 6716990

STAFF USE ONLY

Searcher: Jan
Searcher Phone #: 22504
Searcher Location: 5/27
Date Searcher Picked Up: 5/27
Date Completed: 5/27
Searcher Prep & Review Time: 15
Clencal Prep Time: 15
Online Time: 15

Type of Search

NA Sequence (#)
AA Sequence (#)
Structure (#)
Bibliographic
Litigation
Fulltext
Patent Family
Other

Vendors and cost where applicable

STN
Dialog
Questel/Orbit
Dr. Link
Lexis/Nexis
Sequence Systems
WWW/Internet
Other (specify)

=> fil reg

FILE 'REGISTRY' ENTERED AT 16:35:58 ON 27 MAY 2004

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 26 MAY 2004 HIGHEST RN 686262-86-2

DICTIONARY FILE UPDATES: 26 MAY 2004 HIGHEST RN 686262-86-2

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

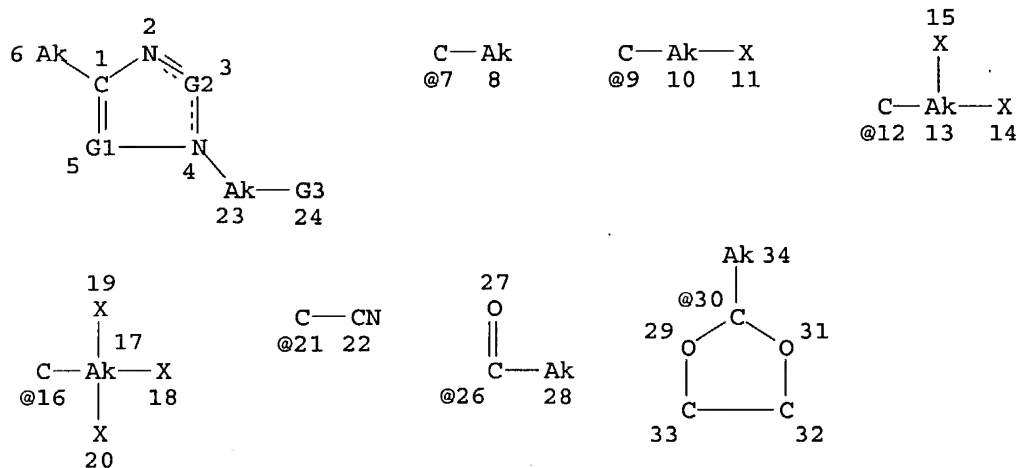
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:

<http://www.cas.org/ONLINE/DBSS/registryss.html>

=> d sta que l12

L1 STR



VAR G1=C/7/9/12/16

VAR G2=C/21

VAR G3=26/30

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 33

STEREO ATTRIBUTES: NONE

L7 74 SEA FILE=REGISTRY SSS FUL L1

L8 17 SEA FILE=REGISTRY ABB=ON PLU=ON L7 AND 1/NR

L9 3 SEA FILE=REGISTRY ABB=ON PLU=ON L7 AND OCOC2/ES

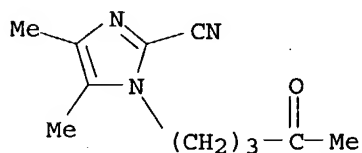
L10 1 SEA FILE=REGISTRY ABB=ON PLU=ON L9 AND C12H20N2O3

L11 1 SEA FILE=REGISTRY ABB=ON PLU=ON L8 AND C11H15N3O

L12 2 SEA FILE=REGISTRY ABB=ON PLU=ON (L10 OR L11)

=> d ide can tot l12

L12 ANSWER 1 OF 2 REGISTRY COPYRIGHT 2004 ACS on STN
RN 349636-45-9 REGISTRY
CN 1H-Imidazole-2-carbonitrile, 4,5-dimethyl-1-(4-oxopentyl)- (9CI) (CA
INDEX NAME)
FS 3D CONCORD
MF C11 H15 N3 O
SR CA
LC STN Files: CA, CAPLUS, CASREACT, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)

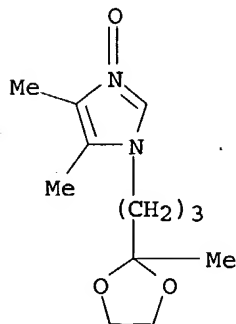


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 135:107330

L12 ANSWER 2 OF 2 REGISTRY COPYRIGHT 2004 ACS on STN
RN 349636-44-8 REGISTRY
CN 1H-Imidazole, 4,5-dimethyl-1-[3-(2-methyl-1,3-dioxolan-2-yl)propyl]-,
3-oxide (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C12 H20 N2 O3
SR CA
LC STN Files: CA, CAPLUS, CASREACT, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)

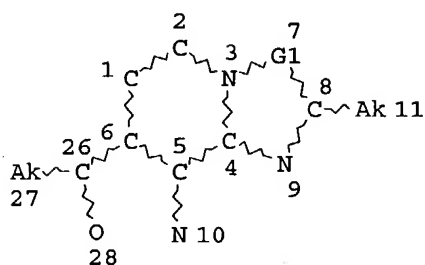


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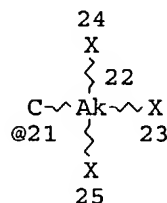
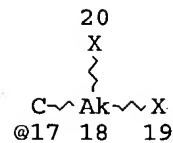
REFERENCE 1: 135:107330

=> d sta que l19
L16 STR



C~Ak
@12 13

C~Ak~X
@14 15 16



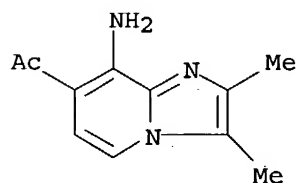
VAR G1=C/12/14/17/21
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 7
NUMBER OF NODES IS 28

STEREO ATTRIBUTES: NONE
L18 14 SEA FILE=REGISTRY SSS FUL L16
L19 2 SEA FILE=REGISTRY ABB=ON PLU=ON L18 AND (C11H13N3O OR C11H15N3O)

=> d ide can tot l19

L19 ANSWER 1 OF 2 REGISTRY COPYRIGHT 2004 ACS on STN
RN 349636-47-1 REGISTRY
CN Ethanone, 1-(8-amino-2,3-dimethylimidazo[1,2-a]pyridin-7-yl)- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 7-Acetyl-8-amino-2,3-dimethylimidazo[1,2-a]pyridine
FS 3D CONCORD
MF C11 H13 N3 O
SR CA
LC STN Files: CA, CAPLUS, CASREACT, TOXCENTER, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 139:364931

REFERENCE 2: 136:340678

REFERENCE 3: 135:107330

L19 ANSWER 2 OF 2 REGISTRY COPYRIGHT 2004 ACS on STN

RN 349636-46-0 REGISTRY

CN Ethanone, 1-(8-amino-5,6-dihydro-2,3-dimethylimidazo[1,2-a]pyridin-7-yl)-
(9CI) (CA INDEX NAME)

FS 3D CONCORD

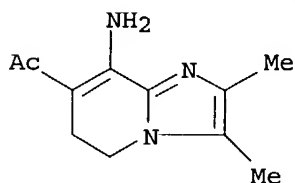
MF C11 H15 N3 O

SR CA

LC STN Files: CA, CAPLUS, CASREACT, USPAT2, USPATFULL

DT.CA Caplus document type: Patent

RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 135:107330

=> d his

(FILE 'HOME' ENTERED AT 16:23:21 ON 27 MAY 2004)
SET COST OFF

FILE 'REGISTRY' ENTERED AT 16:23:31 ON 27 MAY 2004

L1 STR
L2 0 S L1 CSS SAM
L3 0 S L1 SAM

FILE 'HCAPLUS' ENTERED AT 16:26:52 ON 27 MAY 2004

L4 1 S (US20040059127 OR US671990 OR US20030004358)/PN OR DE2000-100
SEL RN

FILE 'REGISTRY' ENTERED AT 16:27:51 ON 27 MAY 2004

L5 24 S E1-E24
L6 2 S L5 AND NCNC2/ES
L7 74 S L3 FUL
SAV L7 QAZI667/A
L8 17 S L7 AND 1/NR

L9 3 S L7 AND OCOC2/ES
L10 1 S L9 AND C12H20N2O3
L11 1 S L8 AND C11H15N3O
L12 2 S L10,L11
L13 2 S L5 AND L7
L14 2 S L12,L13
L15 2 S L5 AND NCNC2-NC5/ES
L16 STR
L17 0 S L16
L18 14 S L16 FUL
SAV L18 QAZI667A/A
L19 2 S L18 AND (C11H13N3O OR C11H15N3O)
L20 2 S L5 AND L18

FILE 'HCAOLD' ENTERED AT 16:34:31 ON 27 MAY 2004
L21 0 S L12 OR L20

FILE 'HCAPLUS' ENTERED AT 16:34:34 ON 27 MAY 2004
L22 3 S L12 OR L20
L23 1 S L22 AND L4
L24 3 S L22 AND (ULRICH ? OR SCHEUFLEER ? OR FUCHSS? OR SENN?)/AU
L25 2 S L22 AND BYK?/PA,CS
L26 3 S L22-L25

FILE 'USPATFULL, USPAT2' ENTERED AT 16:35:42 ON 27 MAY 2004
L27 3 S L12 OR L20

FILE 'REGISTRY' ENTERED AT 16:35:58 ON 27 MAY 2004

=> fil hcaplus

FILE 'HCAPLUS' ENTERED AT 16:36:29 ON 27 MAY 2004
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FILE COVERS 1907 - 27 May 2004 VOL 140 ISS 22
FILE LAST UPDATED: 26 May 2004 (20040526/ED)

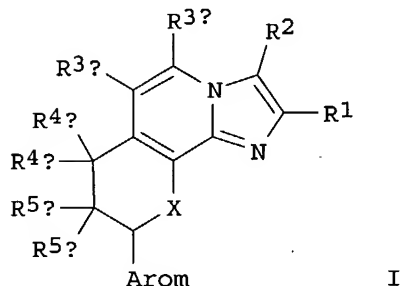
This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d l26 all hitstr tot

L26 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:875288 HCAPLUS
DN 139:364931
ED Entered STN: 07 Nov 2003
TI Preparation of nitrosated tricyclic imidazopyridine derivatives as gastric secretion-inhibitor and anti-inflammatory and antibacterial agents
IN Buhr, Wilm; Senn-Bilfinger, Joerg; Zimmermann, Peter Jan
PA Altana Pharma Ag, Germany

SO PCT Int. Appl., 62 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 IC ICM C07D471-14
 ICS C07D491-14; A61K031-436; A61K031-437; A61P001-00; C07D235-00;
 C07D221-00; C07D311-00
 CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))
 Section cross-reference(s): 1
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003091253	A1	20031106	WO 2003-EP4134	20030422
	W: AE, AL, AU, BA, BR, CA, CN, CO, CU, DZ, EC, GE, HR, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, SG, TN, UA, US, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
PRAI	EP 2002-9104	A	20020424		
OS	MARPAT 139:364931				
GI					



AB The invention relates to nitrosated tricyclic imidazopyridines (e.g. 7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine) of formula (I) [R1 = H, C1-4 alkyl, C3-7 cycloalkyl, C3-7 cycloalkyl-C1-4 alkyl, C1-4 alkoxy, C1-4 alkoxy-C1-4 alkyl, C1-4 alkoxy-carbonyl, C2-4 alkenyl, C2-4 alkynyl, fluoro-C1-4 alkyl, hydroxy-C1-4 alkyl; R2 = H, C1-4 alkyl, aryl, C3-7 cycloalkyl, C3-7 cycloalkyl-C1-4 alkyl, C1-4 alkoxy-carbonyl, hydroxy-C1-4 alkyl, halogen, C2-4 alkenyl, C2-4 alkynyl, fluoro-C1-4 alkyl, cyanomethyl, etc.; R3a, R3b = H, halogen, fluoro-C1-4 alkyl, C1-4 alkyl, C2-4 alkenyl, C2-4 alkynyl, CO2H, -CO-C1-4 alkoxy, hydroxy-C1-4 alkyl, C1-4 alkoxy-C1-4 alkyl, C1-4 alkoxy-C1-4 alkoxy-C1-4 alkyl, fluoro-C1-4 alkoxy-C1-4 alkyl, (un)substituted CONH2; one of R4a and R4b or one of R5a and R5b = H, C1-7 alkyl, C2-7 alkenyl, Ph or phenyl-C1-4 alkyl and the other = HO, C1-4 alkoxy, oxo-substituted C1-4 alkoxy, C3-7 cycloalkoxy, C3-7 cycloalkyl-C1-4 alkoxy, hydroxy-C1-4 alkoxy, C1-4 alkoxy-C1-4 alkoxy, C1-4 alkoxy-C1-4 alkoxy-C1-4 alkoxy, C3-7 cycloalkoxy-C1-4 alkoxy, C3-7 cycloalkyl-C1-4 alkoxy-C1-4 alkoxy, C1-4 alkyl-carbonyloxy, wholly or mainly halogen-substituted C1-4 alkoxy, etc. or in which R4a and R4b or R5a and R5b together are O (oxygen) or are C1-7 alkylidene; Arom = (un)substituted mono- or bicyclic aromatic radical; X = O or NH]. Also disclosed is the use of the compds. I for the prevention and treatment of gastrointestinal illnesses. These compds. are acid pump antagonists (APAs) with less side effects than known APAs and have an antibacterial activity against Helicobacter bacteria with less side effects than known compds. with such activity and NO (nitric oxide) releasing activity, in which the effect against Helicobacter bacteria is synergistically enhanced

on account of the gastric acid inhibiting activity of these compds. They exhibit a marked inhibition of gastric secretion and an excellent gastric and intestinal protective action in warm-blooded animals, in particular humans. Due to gastric and intestinal protection, they are useful for the prevention and treatment of gastrointestinal diseases, in particular of gastrointestinal inflammatory diseases and lesions (e.g. gastric ulcer, peptic ulcer, including peptic ulcer bleeding, duodenal ulcer, gastritis, hyperacidic or medicament-related functional dyspepsia), which can be caused, for example, by microorganisms (e.g. *Helicobacter pylori*), bacterial toxins, medicaments (e.g. certain antiinflammatories and antirheumatics, such as NSAIDs and COX-inhibitors), chems. (e.g. ethanol), gastric acid or stress situations.

- ST nitrosated tricyclic imidazopyridine prepn gastric secretion inhibitor antiinflammatory antibacterial; *Helicobacter pylori* antibacterial nitrosated tricyclic imidazopyridine prepn; gastrointestinal illness treatment prevention nitrosated tricyclic imidazopyridine prepn; tetrahydroimidazonaphthyridine prepn nitric oxide releasing
- IT Intestine, disease
(duodenum, ulcer; preparation of nitrosated tricyclic imidazopyridine derivs. as gastric secretion-inhibitor and anti-inflammatory and antibacterial agents for prevention and treatment of gastrointestinal diseases)
- IT Stomach, disease
(gastritis; preparation of nitrosated tricyclic imidazopyridine derivs. as gastric secretion-inhibitor and anti-inflammatory and antibacterial agents for prevention and treatment of gastrointestinal diseases)
- IT Digestive tract, disease
(gastroenteritis; preparation of nitrosated tricyclic imidazopyridine derivs. as gastric secretion-inhibitor and anti-inflammatory and antibacterial agents for prevention and treatment of gastrointestinal diseases)
- IT Drugs
(gastrointestinal; preparation of nitrosated tricyclic imidazopyridine derivs. as gastric secretion-inhibitor and anti-inflammatory and antibacterial agents for prevention and treatment of gastrointestinal diseases)
- IT Anti-inflammatory agents
Antibacterial agents
Digestive tract, disease
Helicobacter pylori
Human
Inflammation
(preparation of nitrosated tricyclic imidazopyridine derivs. as gastric secretion-inhibitor and anti-inflammatory and antibacterial agents for prevention and treatment of gastrointestinal diseases)
- IT Gastric acid
(secretion; preparation of nitrosated tricyclic imidazopyridine derivs. as gastric secretion-inhibitor and anti-inflammatory and antibacterial agents for prevention and treatment of gastrointestinal diseases)
- IT Stomach, disease
(ulcer; preparation of nitrosated tricyclic imidazopyridine derivs. as gastric secretion-inhibitor and anti-inflammatory and antibacterial agents for prevention and treatment of gastrointestinal diseases)
- IT 349636-47-1P, 7-Acetyl-8-amino-2,3-dimethylimidazo[1,2-a]pyridine 363599-28-4P, Ethyl (2R,3R)-3-amino-2-(tert-butyldimethylsilyloxy)-3-phenylpropionate 363607-99-2P, 2-Methyl-6,7-dihydro-5H-imidazo[1,2-a]pyridin-8-one 419565-68-7P 419565-69-8P, 8-Amino-7-[2,3-epoxy-1-oxo-3-(3-thienyl)propyl]-2,3-dimethylimidazo[1,2-a]pyridine 419565-70-1P, 7,8-Dihydroxy-2,3-dimethyl-9-(3-thienyl)-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine 419565-71-2P 419565-72-3P, 8-Amino-2,3-dimethyl-7-[3-(3-thienyl)-1-oxo-2-propenyl]imidazo[1,2-a]pyridine 419565-74-5P 419565-75-6P, 8-Amino-7-[2,3-epoxy-1-oxo-3-(3-furyl)propyl]-2,3-dimethyl-7,8,9,10-tetrahydroimidazo[1,2-a]pyridine 419565-76-7P 419565-77-8P,

8-Amino-2,3-dimethyl-7-[3-(3-furyl)-1-oxo-2-propenyl]imidazo[1,2-a]pyridine 419565-79-0P, (7R,8R,9R)-8-Hydroxy-7-[2-(2-methoxyethoxy)ethoxy]-2,3-dimethyl-9-phenyl-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine 419565-80-3P, (7S,8R,9R)-8-Hydroxy-7-[2-(2-methoxyethoxy)ethoxy]-2,3-dimethyl-9-phenyl-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine 419565-81-4P 419565-82-5P 419565-83-6P, (7R,8R,9R)-7,8-Dihydroxy-2-methyl-9-phenyl-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine 419565-84-7P, (7S,8R,9R)-8-Hydroxy-2-methyl-7-(2-methoxyethoxy)-9-phenyl-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine 419565-85-8P, (7R,8R,9R)-8-Hydroxy-2-methyl-7-(2-methoxyethoxy)-9-phenyl-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine 419565-86-9P, (7R,8R,9R)-3-Bromo-8-hydroxy-7-(2-methoxyethoxy)-2-methyl-9-phenyl-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine 419565-87-0P, (7R,8R,9R)-10-Acetyl-3-bromo-7-(2-methoxyethoxy)-2-methyl-9-phenyl-8-pivaloyloxy-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine 419565-88-1P, (7R,8R,9R)-3-Chloro-8-hydroxy-7-(2-methoxyethoxy)-2-methyl-9-phenyl-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine 419565-89-2P 419565-91-6P 419565-97-2P 419565-98-3P, (7S,8R,9R)-7,8-Dihydroxy-2-methyl-9-phenyl-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine 419565-99-4P, (7R,8R,9R)-8-Hydroxy-7-methoxy-2-methyl-9-phenyl-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine 419566-00-0P, (7S,8R,9R)-8-Hydroxy-7-methoxy-2-methyl-9-phenyl-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine 419566-01-1P 419566-03-3P, (7R,8R,9R)-3-Hydroxymethyl-8-hydroxy-7-(2-hydroxyethoxy)-2-methyl-9-phenyl-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine 419566-05-5P, (7R,8R,9R)-2,3-Dimethyl-8-hydroxy-7-(2-hydroxyethoxy)-9-phenyl-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine 419566-06-6P, (7R,8R,9R)-3,9-Diphenyl-8-hydroxy-7-(2-methoxyethoxy)-2-methyl-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine 419566-07-7P, (7R,8R,9R)-10-Acetyl-3,9-diphenyl-7-(2-methoxyethoxy)-2-methyl-8-pivaloyloxy-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine 419566-08-8P 419566-10-2P 419566-11-3P, (7S,8R,9R)-8-Hydroxy-7-(2-methoxyethoxy)-2-methoxymethyl-3-methyl-9-phenyl-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine 419566-12-4P, (7R,8R,9R)-8-Hydroxy-7-(2-methoxyethoxy)-2-methoxymethyl-3-methyl-9-phenyl-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine 419566-13-5P, (7R,8R,9R)-7-Ethoxy-8-hydroxy-2-methoxymethyl-3-methyl-9-phenyl-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine 419566-14-6P, (7S,8R,9R)-7-Ethoxy-8-hydroxy-2-methoxymethyl-3-methyl-9-phenyl-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine 419566-15-7P 419566-16-8P, 7-Acetyl-2,3-dimethyl-8-pivaloylaminoimidazo[1,2-a]pyridine 419566-17-9P 419566-18-0P, (7R,8R,9R)-10-Acetyl-7-(2-methoxyethoxy)-2-methyl-9-phenyl-8-pivaloyloxy-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine 419566-19-1P 419566-21-5P 419566-28-2P, 2-Methoxycarbonyl-3-methyl-8-pivaloylaminoimidazo[1,2-a]pyridine 419566-29-3P, 2-Hydroxymethyl-3-methyl-8-pivaloylaminoimidazo[1,2-a]pyridine 419566-30-6P, 2-Chloromethyl-3-methyl-8-pivaloylaminoimidazo[1,2-a]pyridine 419566-31-7P, 2-Methoxymethyl-3-methyl-8-pivaloylaminoimidazo[1,2-a]pyridine 620631-20-1P 620631-24-5P 620631-28-9P 620631-33-6P 620631-38-1P 620631-45-0P 620631-46-1P 620631-48-3P 620631-51-8P 620631-57-4P 620631-58-5P 620631-59-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of nitrosated tricyclic imidazopyridine derivs. as gastric secretion-inhibitor and anti-inflammatory and antibacterial agents for prevention and treatment of gastrointestinal diseases)

IT 10102-43-9, Nitric oxide, biological studies

RL: BSU (Biological study, unclassified); BIOL (Biological study)

(preparation of nitrosated tricyclic imidazopyridine derivs. as gastric secretion-inhibitor and anti-inflammatory and antibacterial agents for prevention and treatment of gastrointestinal diseases)

IT 620631-18-7P 620631-22-3P 620631-26-7P 620631-30-3P 620631-35-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of nitrosated tricyclic imidazopyridine derivs. as gastric secretion-inhibitor and anti-inflammatory and antibacterial agents for prevention and treatment of gastrointestinal diseases)

IT 75-36-5, Acetyl chloride 98-80-6, Phenylboronic acid 109-86-4, Ethylene glycol monomethyl ether 111-77-3, 2-(2-Methoxyethoxy)ethanol 498-60-2, Furan-3-carboxaldehyde 498-62-4, Thiophene-3-carboxaldehyde 876-07-3, 4-Bromomethylbenzoyl bromide 2067-33-6, 5-Bromovaleric acid 2623-87-2, 4-Bromobutyric acid 7761-88-8, Silver nitrate, reactions 34329-73-2, 3-Bromo-2-oxobutanoic acid methyl ester 37693-18-8, 4-Chlorobutyl chloroformate 79707-53-2, 8-Benzyloxy-2-methylimidazo[1,2-a]pyridine 112981-50-7, 2-Methoxyethyl triflate 123830-85-3, Dimethoxypropane 153481-84-6 177556-49-9, 2-Amino-3-pivaloylaminopyridine 214194-01-1, (7R,8R,9R)-7,8-Dihydroxy-2,3-dimethyl-9-phenyl-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine 214194-14-6, 2,3-Dimethyl-8-pivaloylaminoimidazo[1,2-a]pyridine 261944-46-1 362605-90-1 362606-09-5 419565-93-8, (7R,8R,9R)-3-Chloro-7-(2-methoxyethoxy)-2-methyl-9-phenyl-8-pivaloyloxy-7H-8,9-dihydropyrano[2,3-c]imidazo[1,2-a]pyridine 419565-95-0, (7R,8R,9R)-7-(2-Methoxyethoxy)-2-methyl-9-phenyl-8-pivaloyloxy-7H-8,9-dihydropyrano[2,3-c]imidazo[1,2-a]pyridine 419566-02-2, (7R,8R,9R)-10-Acetyl-3-hydroxymethyl-7-(2-methoxyethoxy)-2-methyl-9-phenyl-8-pivaloyloxy-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine 419566-04-4, (7R,8R,9R)-10-Acetyl-3-hydroxymethyl-7-(2-hydroxyethoxy)-2-methyl-9-phenyl-8-pivaloyloxy-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine 419566-20-4, (7R,8R,9R)-10-Acetyl-8-(2-methoxyethoxy)-2-methyl-9-phenyl-7-pivaloyloxy-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine 419566-22-6

RL: RCT (Reactant); RACT (Reactant or reagent)

(reactant; preparation of nitrosated tricyclic imidazopyridine derivs. as gastric secretion-inhibitor and anti-inflammatory and antibacterial agents for prevention and treatment of gastrointestinal diseases)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

- (1) Byk Gulden Lomberg; WO 9854188 A 1998 HCAPLUS
- (2) Byk Gulden Lomberg; WO 0026217 A 2000 HCAPLUS
- (3) Byk Gulden Lomberg Chem Fab; WO 0234749 A 2002 HCAPLUS

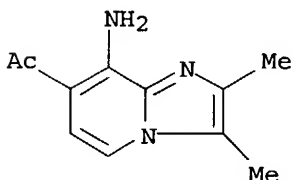
IT 349636-47-1P, 7-Acetyl-8-amino-2,3-dimethylimidazo[1,2-a]pyridine

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of nitrosated tricyclic imidazopyridine derivs. as gastric secretion-inhibitor and anti-inflammatory and antibacterial agents for prevention and treatment of gastrointestinal diseases)

RN 349636-47-1 HCAPLUS

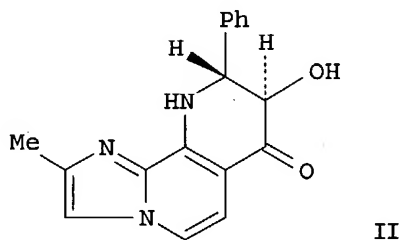
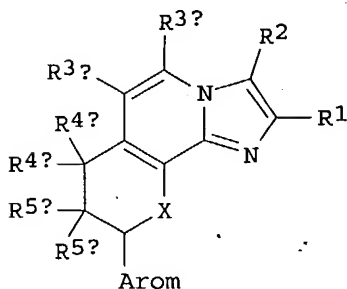
CN Ethanone, 1-(8-amino-2,3-dimethylimidazo[1,2-a]pyridin-7-yl)- (9CI) (CA INDEX NAME)



ED Entered STN: 03 May 2002
 TI Preparation of substituted imidazopyridines as gastric secretion inhibitors
 IN Simon, Wolfgang-Alexander; Postius, Stefan; Sturm, Ernst; Kromer, Wolfgang; Buhr, Wilm; Kohl, Bernhard; Senn-Bilfinger, Joerg; Zimmermann, Peter
 PA BYK Gulden Lomberg Chemische Fabrik GmbH, Germany
 SO PCT Int. Appl., 101 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 IC ICM C07D471-14
 ICS C07D491-147; A61K031-415; A61K031-44; A61K031-35; A61P001-04; C07D471-14; C07D235-00; C07D221-00; C07D221-00; C07D491-147; C07D311-00; C07D235-00; C07D221-00
 CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))
 Section cross-reference(s): 1, 63

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002034749	A1	20020502	WO 2001-EP12207	20011023
	W: AE, AL, AU, BA, BG, BR, CA, CN, CO, CU, CZ, EC, EE, GE, HR, HU, ID, IL, IN, IS, JP, KR, LT, LV, MK, MX, NO, NZ, PH, PL, RO, SG, SI, SK, UA, US, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
	AU 2002010563	A5	20020506	AU 2002-10563	20011023
	BR 2001014873	A	20030701	BR 2001-14873	20011023
	EP 1332143	A1	20030806	EP 2001-978447	20011023
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	JP 2004512338	T2	20040422	JP 2002-537739	20011023
	NO 2003001830	A	20030424	NO 2003-1830	20030424
PRAI	EP 2000-123133	A	20001025		
	WO 2001-EP12207	W	20011023		
OS	MARPAT 136:340678				
GI					



AB Title compds. I [R1 = H, alkyl, cycloalkyl, cycloalkyl-alkyl, alkoxy, alkoxy-alkyl, alkoxy-carbonyl, alkenyl, alkynyl, fluoro-alkyl, hydroxy-alkyl, R2 = H, alkyl, aryl, cycloalkyl, cycloalkyl-alkyl, alkoxy-carbonyl, hydroxy-alkyl, halo, alkenyl, alkynyl, fluoro-alkyl, cyanomethyl; R3a = H, halo, fluoro-alkyl, alkyl, alkenyl, alkynyl, carboxy, etc.; R3b = H, halo, fluoro-alkyl, alkyl, alkenyl, alkynyl, carboxy, CO-alkoxy, hydroxy-alkyl, alkoxy-alkyl, alkoxy-alkoxy-alkyl, etc.; One of R4a-4b = H, alkyl, alkenyl, Ph, phenyl-alkyl and the other is

hydroxy, alkoxy, oxo-substituted alkoxy, cycloalkoxy, cycloalkyl-alkoxy, hydroxy-alkoxy, alkoxy-alkoxy, etc. or R4a-4b together = O, alkylidene; One of R5a-5b = H, alkyl, alkenyl, Ph, phenyl-alkyl and the other is H, hydroxy, alkoxy, oxo-substituted alkoxy, cycloalkoxy, cycloalkyl-alkoxy, hydroxy-alkoxy, alkoxy-alkoxy, etc. or R5a-5b together are O, alkylidene, Arom = mono- or bicyclic (un)substituted aromatic radical; X = O, NH] were prepared For instance 2-methyl-6,7-dihydro-5H-imidazo[1,2-a]pyridin-8-one (preparation given) was condensed with Et (2R,3R)-3-amino-2-(tert-butyltrimethylsilyloxy)-3-phenylpropionate (PhMe, TsOH) to give (8R,9R)-8-((tert-butyltrimethylsilyloxy)-2-methyl-9-phenyl-5,6,7,8,9,10-hexahydroimidazo[1,2-h][1,7]naphthyridin-7-one. This intermediate was aromatized with DDQ and subsequently desilylated to afford II. Selected compds. of the invention gave 100% gastric acid secretion inhibition at 3µmol/kg (rat). I are useful for the treatment of gastrointestinal illnesses.

ST imidazopyridine gastric secretion inhibitor prepn

IT Digestive tract, disease

Human

(preparation of substituted imidazopyridines as gastric secretion inhibitors)

IT Gastric acid

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(secretion, inhibitors; preparation of substituted imidazopyridines as gastric secretion inhibitors)

IT 419565-98-3P, (7S,8R,9R)-7,8-Dihydroxy-2-methyl-9-phenyl-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug, reactant, reactant; preparation of substituted imidazopyridines as gastric secretion inhibitors)

IT 419565-71-2P 419565-76-7P 419565-81-4P 419565-83-6P, (7R,8R,9R)-7,8-Dihydroxy-2-methyl-9-phenyl-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine 419566-08-8P 419566-10-2P, (7R,8R,9R)-7,8-Dihydroxy-2-methoxymethyl-3-methyl-9-phenyl-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine 419566-15-7P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug, reactant; preparation of substituted imidazopyridines as gastric secretion inhibitors)

IT 419565-68-7P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug; preparation of substituted imidazopyridines as gastric secretion inhibitors)

IT 419565-70-1P, 7,8-Dihydroxy-2,3-dimethyl-9-(3-thienyl)-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine 419565-73-4P, 7-Hydroxy-2,3-dimethyl-9-(3-thienyl)-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine 419565-74-5P 419565-78-9P, 9-(3-Furyl)-7-hydroxy-2,3-dimethyl-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine 419565-79-0P, (7R,8R,9R)-8-Hydroxy-7-[2-(2-methoxyethoxy)ethoxy]-2,3-dimethyl-9-phenyl-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine 419565-80-3P, (7S,8R,9R)-8-Hydroxy-7-[2-(2-methoxyethoxy)ethoxy]-2,3-dimethyl-9-phenyl-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine 419565-84-7P, (7S,8R,9R)-8-Hydroxy-2-methyl-7-(2-methoxyethoxy)-9-phenyl-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine 419565-85-8P, (7R,8R,9R)-8-Hydroxy-2-methyl-7-(2-methoxyethoxy)-9-phenyl-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine 419565-86-9P, (7R,8R,9R)-3-Bromo-8-hydroxy-7-(2-methoxyethoxy)-2-methyl-9-phenyl-

7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine 419565-88-1P,
 (7R,8R,9R)-3-Chloro-8-hydroxy-7-(2-methoxyethoxy)-2-methyl-9-phenyl-
 7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine 419565-90-5P,
 (7R,8R,9R)-3-Bromo-7-hydroxy-8-(2-methoxyethoxy)-2-methyl-9-phenyl-
 7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine 419565-92-7P,
 (7R,8R,9R)-3-Chloro-8-hydroxy-7-(2-methoxyethoxy)-2-methyl-9-phenyl-7H-8,9-
 dihydropyrano[2,3-c]imidazo[1,2-a]pyridine 419565-94-9P,
 (7R,8R,9R)-8-Hydroxy-7-(2-methoxyethoxy)-2-methyl-9-phenyl-7H-8,9-
 dihydropyrano[2,3-c]imidazo[1,2-a]pyridine 419565-96-1P,
 (7R,8R,9R)-7,8-Dihydroxy-2-methyl-9-phenyl-7H-8,9-dihydropyrano[2,3-
 c]imidazo[1,2-a]pyridine 419565-99-4P, (7R,8R,9R)-8-Hydroxy-7-methoxy-2-
 methyl-9-phenyl-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine
 419566-00-0P, (7S,8R,9R)-8-Hydroxy-7-methoxy-2-methyl-9-phenyl-7,8,9,10-
 tetrahydroimidazo[1,2-h][1,7]naphthyridine 419566-01-1P,
 (7R,8R,9R)-3-Hydroxymethyl-8-hydroxy-7-(2-methoxyethoxy)-2-methyl-9-phenyl-
 7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine 419566-03-3P,
 (7R,8R,9R)-3-Hydroxymethyl-8-hydroxy-7-(2-hydroxyethoxy)-2-methyl-9-phenyl-
 7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine 419566-05-5P,
 (7R,8R,9R)-2,3-Dimethyl-8-hydroxy-7-(2-hydroxyethoxy)-9-phenyl-7,8,9,10-
 tetrahydroimidazo[1,2-h][1,7]naphthyridine 419566-06-6P,
 (7R,8R,9R)-3,9-Diphenyl-8-hydroxy-7-(2-methoxyethoxy)-2-methyl-7,8,9,10-
 tetrahydroimidazo[1,2-h][1,7]naphthyridine 419566-11-3P,
 (7S,8R,9R)-8-Hydroxy-7-(2-methoxyethoxy)-2-methoxymethyl-3-methyl-9-phenyl-
 7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine 419566-12-4P,
 (7R,8R,9R)-8-Hydroxy-7-(2-methoxyethoxy)-2-methoxymethyl-3-methyl-9-phenyl-
 7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine 419566-13-5P,
 (7R,8R,9R)-7-Ethoxy-8-hydroxy-2-methoxymethyl-3-methyl-9-phenyl-7,8,9,10-
 tetrahydroimidazo[1,2-h][1,7]naphthyridine 419566-14-6P,
 (7S,8R,9R)-7-Ethoxy-8-hydroxy-2-methoxymethyl-3-methyl-9-phenyl-7,8,9,10-
 tetrahydroimidazo[1,2-h][1,7]naphthyridine
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(drug; preparation of substituted imidazopyridines as gastric secretion
 inhibitors)

IT 79707-11-2P **349636-47-1P**, 7-Acetyl-8-amino-2,3-
 dimethylimidazo[1,2-a]pyridine 362525-66-4P, 6,8-Dibromo-2,3-
 dimethylimidazo[1,2-a]pyridine 362525-67-5P, 8-Benzyloxy-6-bromo-2,3-
 dimethylimidazo[1,2-a]pyridine 362525-68-6P, 8-Benzyloxy-6-
 ethoxycarbonyl-2,3-dimethylimidazo[1,2-a]pyridine 362525-69-7P,
 6-Ethoxycarbonyl-8-hydroxy-2,3-dimethyl-5,6,7,8-tetrahydroimidazo[1,2-
 a]pyridine 362525-70-0P, 6-Ethoxycarbonyl-2,3-dimethyl-5,6,7,8-
 tetrahydroimidazo[1,2-a]pyridin-8-one 362525-71-1P, 8-Benzyloxy-6-
 hydroxymethyl-2,3-dimethylimidazo[1,2-a]pyridine 362525-73-3P,
 2,3-Dimethyl-6,7-dihydro-5H-imidazo[1,2-a]pyridin-8-one 363599-15-9P,
 8-Benzyloxy-6-methoxymethyl-2,3-dimethylimidazo[1,2-a]pyridine
 363599-16-0P, 6-Methoxymethyl-2,3-dimethyl-5,6,7,8-tetrahydroimidazo[1,2-
 a]pyridin-8-one 363607-99-2P, 2-Methyl-6,7-dihydro-5H-imidazo[1,2-
 a]pyridin-8-one 419565-69-8P, 8-Amino-7-[2,3-epoxy-1-oxo-3-(3-
 thienyl)propyl]-2,3-dimethylimidazo[1,2-a]pyridine 419565-72-3P,
 8-Amino-2,3-dimethyl-7-[3-(3-thienyl)-1-oxo-2-propenyl]imidazo[1,2-
 a]pyridine 419565-82-5P 419565-87-0P, (7R,8R,9R)-10-Acetyl-3-bromo-7-
 (2-methoxyethoxy)-2-methyl-9-phenyl-8-pivaloyloxy-7,8,9,10-
 tetrahydroimidazo[1,2-h][1,7]naphthyridine 419565-89-2P 419565-91-6P
 419565-95-0P, (7R,8R,9R)-7-(2-Methoxyethoxy)-2-methyl-9-phenyl-8-
 pivaloyloxy-7H-8,9-dihydropyrano[2,3-c]imidazo[1,2-a]pyridine
 419565-97-2P 419566-07-7P, (7R,8R,9R)-10-Acetyl-3,9-diphenyl-7-(2-
 methoxyethoxy)-2-methyl-8-pivaloyloxy-7,8,9,10-tetrahydroimidazo[1,2-
 h][1,7]naphthyridine 419566-09-9P 419566-16-8P, 7-Acetyl-2,3-dimethyl-
 8-pivaloylaminoimidazo[1,2-a]pyridine 419566-17-9P 419566-18-0P,
 (7R,8R,9R)-10-Acetyl-7-(2-methoxyethoxy)-2-methyl-9-phenyl-8-pivaloyloxy-
 7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine 419566-19-1P,
 (7R,8R,9R)-10-Acetyl-7-hydroxy-2-methyl-9-phenyl-8-pivaloyloxy-7,8,9,10-

tetrahydroimidazo[1,2-h][1,7]naphthyridine 419566-21-5P 419566-22-6P
419566-23-7P 419566-25-9P 419566-26-0P 419566-27-1P,
(7R,8R,9R)-7-Hydroxy-2-methyl-9-phenyl-8-pivaloyloxy-7H-8,9-
dihydropyrano[2,3-c]imidazo[1,2-a]pyridine 419566-28-2P,
2-Methoxycarbonyl-3-methyl-8-pivaloylaminoimidazo[1,2-a]pyridine
419566-29-3P, 2-Hydroxymethyl-3-methyl-8-pivaloylaminoimidazo[1,2-
a]pyridine 419566-30-6P, 2-Chloromethyl-3-methyl-8-
pivaloylaminoimidazo[1,2-a]pyridine 419566-31-7P, 2-Methoxymethyl-3-
methyl-8-pivaloylaminoimidazo[1,2-a]pyridine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(intermediate; preparation of substituted imidazopyridines as gastric
secretion inhibitors)

IT 98-80-6, Phenylboronic acid 100-51-6, Benzyl alcohol, reactions
111-77-3, 2-(2-Methoxyethoxy)ethanol 498-60-2, Furan-3-carboxaldehyde
498-62-4, Thiophene-3-carboxaldehyde 814-75-5, 3-Bromo-2-butanone
34329-73-2, 3-Bromo-2-oxobutanoic acid methyl ester 35486-42-1,
2-Amino-3,5-dibromopyridine 79707-53-2, 8-Benzyloxy-2-methylimidazo[1,2-
a]pyridine 96428-89-6, 8-Benzyloxy-2,3-dimethylimidazo[1,2-a]pyridine
119858-51-4, 8-Amino-2,3-dimethylimidazo[1,2-a]pyridine 177556-49-9,
2-Amino-3-pivaloylaminoimidazo[1,2-a]pyridine 214194-01-1, (7R,8R,9R)-7,8-Dihydroxy-
2,3-dimethyl-9-phenyl-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine
214194-14-6, 2,3-Dimethyl-8-pivaloylaminoimidazo[1,2-a]pyridine
363599-28-4, Ethyl (2R,3R)-3-amino-2-(tert-butyl dimethylsilyloxy)-3-
phenylpropionate 419565-75-6 419565-77-8, 8-Amino-2,3-dimethyl-7-[3-(3-
furyl)-1-oxo-2-propenyl]imidazo[1,2-a]pyridine 419565-93-8,
(7R,8R,9R)-3-Chloro-7-(2-methoxyethoxy)-2-methyl-9-phenyl-8-pivaloyloxy-7H-
8,9-dihydropyrano[2,3-c]imidazo[1,2-a]pyridine 419566-02-2,
(7R,8R,9R)-10-Acetyl-3-hydroxymethyl-7-(2-methoxyethoxy)-2-methyl-9-phenyl-
8-pivaloyloxy-7,8,9,10-tetrahydroimidazo[1,2-h][1,7]naphthyridine
419566-04-4, (7R,8R,9R)-10-Acetyl-3-hydroxymethyl-7-(2-hydroxyethoxy)-2-
methyl-9-phenyl-8-pivaloyloxy-7,8,9,10-tetrahydroimidazo[1,2-
h][1,7]naphthyridine 419566-20-4, (7R,8R,9R)-10-Acetyl-8-(2-
methoxyethoxy)-2-methyl-9-phenyl-7-pivaloyloxy-7,8,9,10-
tetrahydroimidazo[1,2-h][1,7]naphthyridine 419566-24-8 419566-32-8
RL: RCT (Reactant); RACT (Reactant or reagent)

(reactant; preparation of substituted imidazopyridines as gastric secretion
inhibitors)

RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD

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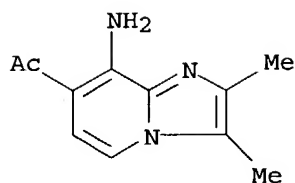
- (1) Astra, A; WO 9527714 A 1995 HCAPLUS
- (2) Byk Gulden Lomberg; ZA 9802445 A 1998 HCAPLUS
- (3) Byk Gulden Lomberg Chemische Fabrik GmbH; WO 9842707 A 1998 HCAPLUS
- (4) Byk Gulden Lomberg Chemische Fabrik GmbH; WO 9854188 A 1998 HCAPLUS
- (5) Byk Gulden Lomberg Chemische Fabrik GmbH; WO 0017200 A 2000 HCAPLUS
- (6) Byk Gulden Lomberg Chemische Fabrik GmbH; WO 0026217 A 2000 HCAPLUS
- (7) Byk Gulden Lomberg Chemische Fabrik GmbH; WO 0063211 A 2000 HCAPLUS
- (8) Byk Gulden Lomberg Chemische Fabrik GmbH; WO 0172754 A 2001 HCAPLUS
- (9) Byk Gulden Lomberg Chemische Fabrik GmbH; WO 0172755 A 2001 HCAPLUS
- (10) Byk Gulden Lomberg Chemische Fabrik GmbH; WO 0172756 A 2001 HCAPLUS
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- (12) Gold, E; US 4468400 A 1984 HCAPLUS
- (13) Kaminski, J; J MED CHEM 1989, V32(8), P1686 HCAPLUS
- (14) Kaminski, J; J MED CHEM 1991, V34(2), P533 HCAPLUS
- (15) Kaminski, J; J MED CHEM 1997, V40(4), P427 HCAPLUS

IT 349636-47-1P, 7-Acetyl-8-amino-2,3-dimethylimidazo[1,2-a]pyridine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(intermediate; preparation of substituted imidazopyridines as gastric
secretion inhibitors)

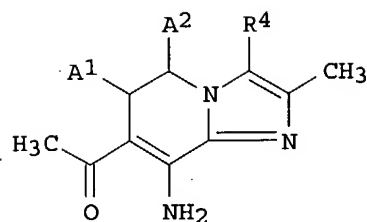
RN 349636-47-1 HCAPLUS

CN Ethanone, 1-(8-amino-2,3-dimethylimidazo[1,2-a]pyridin-7-yl)- (9CI) (CA
INDEX NAME)

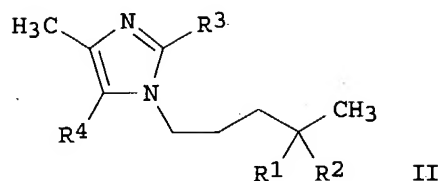


L26 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN
 AN 2001:526074 HCAPLUS
 DN 135:107330
 ED Entered STN: 20 Jul 2001
 TI Process and intermediates for the preparation of imidazo[1,2-a]pyridines
 from substituted imidazoles
 IN Ulrich, Wolf-Ruediger; Scheufler, Christian;
 Fuchss, Thomas; Senn-Bilfinger, Joerg
 PA BYK Gulden Lomberg Chemische Fabrik G.m.b.H., Germany
 SO PCT Int. Appl., 12 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 IC ICM C07D405-06
 ICS C07D233-90; C07D471-04
 CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001051486	A2	20010719	WO 2001-EP261	20010111 <--
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	RW:		AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR		
	DE 10001037	C1	20011213	DE 2000-10001037	20000113 <--
	AU 2001025149	A5	20010724	AU 2001-25149	20010111 <--
	EP 1250335	A2	20021023	EP 2001-900421	20010111 <--
	R:		AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR		
	JP 2003519696	T2	20030624	JP 2001-551868	20010111 <--
	US 2003004358	A1	20030102	US 2002-149290	20020611 <--
	US 6716990	B2	20040406		
	US 2004059127	A1	20040325	US 2003-667524	20030923 <--
PRAI	DE 2000-10001037	A	20000113	<--	
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GI					



I



II

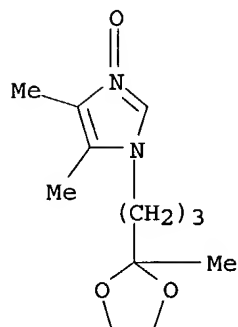
- AB Imidazo[1,2-a]pyridines (I; A1, A2 = H or together form a bond; R4 = H, CH3, CF3) are prepared in high yield and selectivity by the cyclization of imidazoles (II; R1, R2 together are O or OCH2CH2O; R3 = H, CN; R4 = H, CH3, CF3) with deprotonation to give 5,6-dihydroimidazo[1,2-a]pyridines which may be further oxidized (e.g., A1 and A2 hydrogens removed to form a double bond) to give imidazo[1,2-a]pyridines. Thus, 2-cyano-4,5-dimethyl-1-N-(pentan-2-on-5-yl)imidazole was reacted with tert-BuOK in THF and saturated ammonium chloride solution added, producing 7-acetyl-8-amino-5,6-dihydro-2,3-dimethylimidazo[1,2-a]pyridine, m.p. 204° (decomposition).
- ST dihydroimidazopyridine prepn; imidazopyridine prepn dihydroimidazopyridine oxidn; pyridine imidazo; acetylaminodihydrodimethylimidazopyridine prepn
- IT Cyclocondensation reaction
(intramol.; of 2-cyano-4,5-dimethyl-1-N-(pentan-2-on-5-yl)imidazole into 7-acetyl-8-amino-5,6-dihydro-2,3-dimethylimidazo[1,2-a]pyridine)
- IT Oxidation
(liquid-phase; of 7-acetyl-8-amino-5,6-dihydro-2,3-dimethylimidazo[1,2-a]pyridine into 7-Acetyl-8-amino-2,3-dimethylimidazo[1,2-a]pyridine using manganese dioxide)
- IT 7440-44-0, Activated carbon, uses
RL: CAT (Catalyst use); USES (Uses)
(activated, support; process and intermediates for the preparation of imidazo[1,2-a]pyridines from substituted imidazoles)
- IT 104-15-4, p-Toluenesulfonic acid, uses 1344-28-1, Alumina, uses
7440-05-3, Palladium, uses 7789-23-3, Potassium fluoride
RL: CAT (Catalyst use); USES (Uses)
(process and intermediates for the preparation of imidazo[1,2-a]pyridines from substituted imidazoles)
- IT 57-71-6, Diacetyl monoxime 64-18-6, Formic acid, reactions 75-52-5, Nitromethane, reactions 77-78-1, Dimethyl sulfate 78-94-4, Methyl vinyl ketone, reactions 107-21-1, Ethylene glycol, reactions 144-55-8, Sodium bicarbonate, reactions 151-50-8, Potassium cyanide 865-47-4 30525-89-4, Paraformaldehyde
RL: RCT (Reactant); RACT (Reactant or reagent)
(process and intermediates for the preparation of imidazo[1,2-a]pyridines from substituted imidazoles)
- IT 19639-74-8P 22020-87-7P, 5-Nitro-2-pentanone 66442-97-5P
349636-44-8P 349636-45-9P 349636-46-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process and intermediates for the preparation of imidazo[1,2-a]pyridines from substituted imidazoles)
- IT 349636-47-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(process and intermediates for the preparation of imidazo[1,2-a]pyridines from substituted imidazoles)
- IT 540-84-1, 2,2,4-Trimethylpentane 7732-18-5, Water, uses
RL: NUU (Other use, unclassified); USES (Uses)
(solvent; process and intermediates for the preparation of imidazo[1,2-a]pyridines from substituted imidazoles)
- IT 349636-44-8P 349636-45-9P 349636-46-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(process and intermediates for the preparation of imidazo[1,2-a]pyridines from substituted imidazoles)

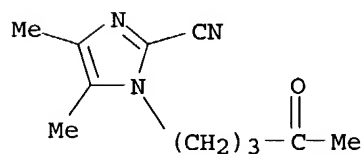
RN 349636-44-8 HCAPLUS

CN 1H-Imidazole, 4,5-dimethyl-1-[3-(2-methyl-1,3-dioxolan-2-yl)propyl]-, 3-oxide (9CI) (CA INDEX NAME)



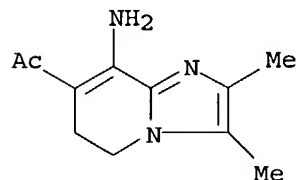
RN 349636-45-9 HCAPLUS

CN 1H-Imidazole-2-carbonitrile, 4,5-dimethyl-1-(4-oxopentyl)- (9CI) (CA INDEX NAME)



RN 349636-46-0 HCAPLUS

CN Ethanone, 1-(8-amino-5,6-dihydro-2,3-dimethylimidazo[1,2-a]pyridin-7-yl)- (9CI) (CA INDEX NAME)



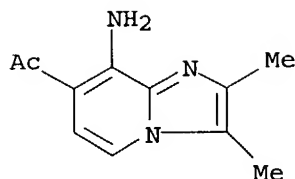
IT 349636-47-1P

RL: SPN (Synthetic preparation); PREP (Preparation)

(process and intermediates for the preparation of imidazo[1,2-a]pyridines from substituted imidazoles)

RN 349636-47-1 HCAPLUS

CN Ethanone, 1-(8-amino-2,3-dimethylimidazo[1,2-a]pyridin-7-yl)- (9CI) (CA INDEX NAME)



=> fil uspatall

FILE 'USPATFULL' ENTERED AT 16:36:37 ON 27 MAY 2004
CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 16:36:37 ON 27 MAY 2004
CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

=> d 127 bib abs hitstr tot

L27 ANSWER 1 OF 3 USPATFULL on STN

AN 2004:77348 USPATFULL

TI Process and intermediates for the preparation of imidazopyridines

IN Ulrich, Wolf-Rudiger, Konstanz, GERMANY, FEDERAL REPUBLIC OF
Scheufler, Christian, Engen-Neuhausen, GERMANY, FEDERAL REPUBLIC OF
Fuchss, Thomas, Konstanz, GERMANY, FEDERAL REPUBLIC OF
Senn-Bilfinger, Jorg, Konstanz, GERMANY, FEDERAL REPUBLIC OF
PA BYK Gulden Fabrik Lomberg Chemische Fabrik GmbH, Konstanz, GERMANY,
FEDERAL REPUBLIC OF (non-U.S. corporation)
Altana Pharma AG, Konstanz, GERMANY, FEDERAL REPUBLIC OF (non-U.S.
corporation)

PI US 2004059127 A1 20040325

AI US 2003-667524 A1 20030923 (10)

RLI Division of Ser. No. US 2002-149290, filed on 11 Jun 2002, PENDING A 371
of International Ser. No. WO 2001-EP261, filed on 11 Jan 2001, UNKNOWN

PRAI DE 2000-10001037 20000113

DT Utility

FS APPLICATION

LREP Gary M. Nath, NATH & ASSOCIATES PLLC, 6th Floor, 1030 15th Street, N.W.,
Washington, DC, 20005

CLMN Number of Claims: 6

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 340

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to compounds of formula (2) in which R1, R2, R3
and R4 have the meanings indicated in the description, their preparation
and their further reaction to give compounds of formula (1), in which
A.sub.1, A.sub.2 and R4 have the meanings indicated in the description.
The compounds of the formula (1) are valuable intermediates for the
preparation of medicaments. ##STR1##

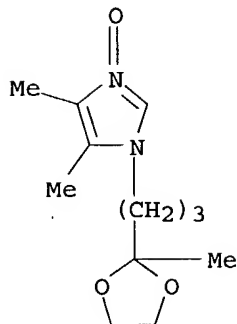
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 349636-44-8P 349636-45-9P 349636-46-0P

(process and intermediates for the preparation of imidazo[1,2-a]pyridines
from substituted imidazoles)

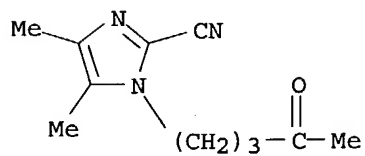
RN 349636-44-8 USPATFULL

CN 1H-Imidazole, 4,5-dimethyl-1-[3-(2-methyl-1,3-dioxolan-2-yl)propyl]-,
3-oxide (9CI) (CA INDEX NAME)



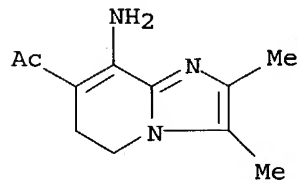
RN 349636-45-9 USPATFULL

CN 1H-Imidazole-2-carbonitrile, 4,5-dimethyl-1-(4-oxopentyl)- (9CI) (CA INDEX NAME)



RN 349636-46-0 USPATFULL

CN Ethanone, 1-(8-amino-5,6-dihydro-2,3-dimethylimidazo[1,2-a]pyridin-7-yl)- (9CI) (CA INDEX NAME)

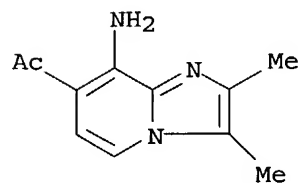


IT 349636-47-1P

(process and intermediates for the preparation of imidazo[1,2-a]pyridines from substituted imidazoles)

RN 349636-47-1 USPATFULL

CN Ethanone, 1-(8-amino-2,3-dimethylimidazo[1,2-a]pyridin-7-yl)- (9CI) (CA INDEX NAME)



L27 ANSWER 2 OF 3 USPATFULL on STN

AN 2003:4312 USPATFULL

TI Process and intermediates for the preparation of imidazopyridines

IN Ulrich, Wolf- Rudiger, Konstanz, GERMANY, FEDERAL REPUBLIC OF
 Scheufler, Christian, Engen-Neuhausen, GERMANY, FEDERAL REPUBLIC OF
 Fuchss, Thomas, Konstanz, GERMANY, FEDERAL REPUBLIC OF
 Senn-Bilfinger, Jorg, Konstanz, GERMANY, FEDERAL REPUBLIC OF

PI US 2003004358 A1 20030102
 US 6716990 B2 20040406

AI US 2002-149290 A1 20020611 (10)
 WO 2001-EP261 20010111

PRAI DE 2000-10001037 20000113

DT Utility

FS APPLICATION

LREP NATH & ASSOCIATES, 1030 15th STREET, 6TH FLOOR, WASHINGTON, DC, 20005

CLMN Number of Claims: 6

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 341

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB ##STR1## The invention relates to compounds of formula (2) in which
 R1, R2, R3 and R4 have the meanings indicated in the description, their
 preperation and their further reaction to give compounds of formula (1),
 in which A.sub.1, A.sub.2 and R4 have the meanings indicated in the
 description. The compounds of the formula (1) are valuable intermediates
 for the preparation of medicaments.

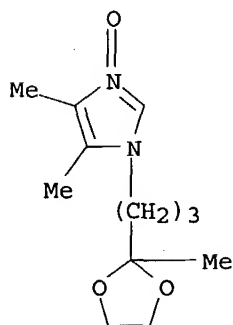
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 349636-44-8P 349636-45-9P 349636-46-0P

(process and intermediates for the preparation of imidazo[1,2-a]pyridines
 from substituted imidazoles)

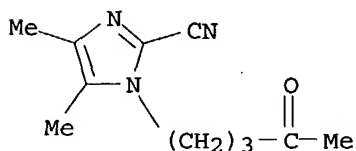
RN 349636-44-8 USPATFULL

CN 1H-Imidazole, 4,5-dimethyl-1-[3-(2-methyl-1,3-dioxolan-2-yl)propyl]-,
 3-oxide (9CI) (CA INDEX NAME)



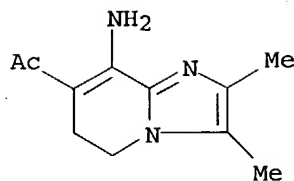
RN 349636-45-9 USPATFULL

CN 1H-Imidazole-2-carbonitrile, 4,5-dimethyl-1-(4-oxopentyl)- (9CI) (CA
 INDEX NAME),



RN 349636-46-0 USPATFULL

CN Ethanone, 1-(8-amino-5,6-dihydro-2,3-dimethylimidazo[1,2-a]pyridin-7-yl)-
 (9CI) (CA INDEX NAME)

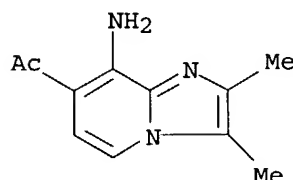


IT 349636-47-1P

(process and intermediates for the preparation of imidazo[1,2-a]pyridines from substituted imidazoles)

RN 349636-47-1 USPATFULL

CN Ethanone, 1-(8-amino-2,3-dimethylimidazo[1,2-a]pyridin-7-yl)- (9CI) (CA INDEX NAME)



L27 ANSWER 3 OF 3 USPAT2 on STN

AN 2003:4312 USPAT2

TI Process and intermediates for the preparation of imidazopyridines

IN Ulrich, Wolf-Rudiger, Constance, GERMANY, FEDERAL REPUBLIC OF
Scheufler, Christian, Engen-Neuhausen, GERMANY, FEDERAL REPUBLIC OF
Fuchss, Thomas, Constance, GERMANY, FEDERAL REPUBLIC OF
Senn-Bilfinger, Jorg, Constance, GERMANY, FEDERAL REPUBLIC OF

PA Altana Pharma AG, Constance, GERMANY, FEDERAL REPUBLIC OF (non-U.S. corporation)

PI US 6716990 B2 20040406

WO 2001051486 20010719

AI US 2002-149290 20020611 (10)

WO 2001-EP261 20010111

PRAI DE 2000-10001037 20000113

DT Utility

FS GRANTED

EXNAM Primary Examiner: Solola, T. A.; Assistant Examiner: Sackey, Ebenezer

LREP Nath & Associates PLLC, Nath, Gary M., Juneau, Todd L.

CLMN Number of Claims: 2

ECL Exemplary Claim: 1

DRWN 0 Drawing Figure(s); 0 Drawing Page(s)

LN.CNT 312

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to compounds of formula (2) in which R1, R2, R3 and R4 have the meanings indicated in the description, their preparation and their further reaction to give compounds of formula (1), in which A.sub.1, A.sub.2 and R4 have the meanings indicated in the description. The compounds of the formula (1) are valuable intermediates for the preparation of medicaments. ##STR1##

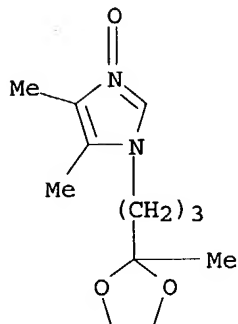
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 349636-44-8P 349636-45-9P 349636-46-0P

(process and intermediates for the preparation of imidazo[1,2-a]pyridines from substituted imidazoles)

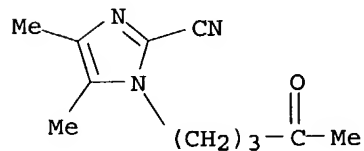
RN 349636-44-8 USPAT2

CN 1H-Imidazole, 4,5-dimethyl-1-[3-(2-methyl-1,3-dioxolan-2-yl)propyl]-, 3-oxide (9CI) (CA INDEX NAME)



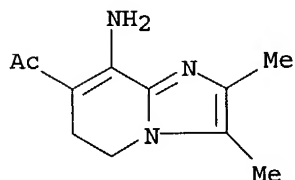
RN 349636-45-9 USPAT2

CN 1H-Imidazole-2-carbonitrile, 4,5-dimethyl-1-(4-oxopentyl)- (9CI) (CA INDEX NAME)



RN 349636-46-0 USPAT2

CN Ethanone, 1-(8-amino-5,6-dihydro-2,3-dimethylimidazo[1,2-a]pyridin-7-yl)- (9CI) (CA INDEX NAME)

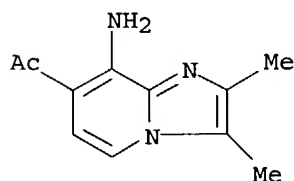


IT 349636-47-1P

(process and intermediates for the preparation of imidazo[1,2-a]pyridines from substituted imidazoles)

RN 349636-47-1 USPAT2

CN Ethanone, 1-(8-amino-2,3-dimethylimidazo[1,2-a]pyridin-7-yl)- (9CI) (CA INDEX NAME)



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